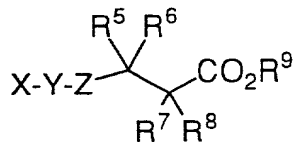
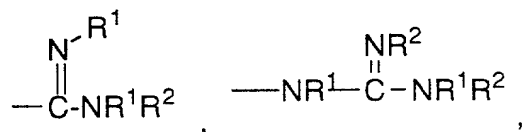


## WHAT IS CLAIMED IS:

1. A compound of the formula



- 5 wherein X is selected from the group consisting of



- 10 a 5- or 6-membered monocyclic aromatic or nonaromatic ring system having 0, 1, 2, 3 or 4 heteroatoms selected from the group consisting of N, O, and S wherein the ring nitrogen atoms are unsubstituted or substituted with one R<sup>1</sup> substituent and the ring carbon atoms are unsubstituted or substituted with one or two R<sup>1</sup> substituents, and

- 15 a 9- to 14-membered polycyclic ring system, wherein one or more of the rings is aromatic, and wherein the polycyclic ring system has 0, 1, 2, 3 or 4 heteroatoms selected from the group consisting of N, O, and S wherein the ring nitrogen atoms are unsubstituted or substituted with one R<sup>1</sup> substituent and the ring carbon atoms are unsubstituted or substituted with one or two R<sup>1</sup> substituents;

- 20 Y is selected from the group consisting of

- 25  $-(\text{CH}_2)_m-$ ,  
 $-(\text{CH}_2)_m-\text{O}-(\text{CH}_2)_n-$ ,  
 $-(\text{CH}_2)_m-\text{NR}^4-(\text{CH}_2)_n-$ ,  
 $-(\text{CH}_2)_m-\text{S}-(\text{CH}_2)_n-$ ,  
 $-(\text{CH}_2)_m-\text{SO}-(\text{CH}_2)_n-$ ,  
 $-(\text{CH}_2)_m-\text{SO}_2-(\text{CH}_2)_n-$ ,

$-(CH_2)_m-O-(CH_2)_n-O-(CH_2)_p-$ ,  
 $-(CH_2)_m-O-(CH_2)_n-NR^4-(CH_2)_p-$ ,  
 $-(CH_2)_m-NR^4-(CH_2)_n-NR^4-(CH_2)_p-$ ,  
 $-(CH_2)_m-O-(CH_2)_n-S-(CH_2)_p-$ ,  
 $-(CH_2)_m-S-(CH_2)_n-S-(CH_2)_p-$ ,  
 $-(CH_2)_m-NR^4-(CH_2)_n-S-(CH_2)_p-$ ,  
 $-(CH_2)_m-NR^4-(CH_2)_n-O-(CH_2)_p-$ ,  
 $-(CH_2)_m-S-(CH_2)_n-O-(CH_2)_p-$ , and  
 $-(CH_2)_m-S-(CH_2)_n-NR^4-(CH_2)_p-$ ,

wherein any methylene ( $CH_2$ ) carbon atom in Y, other than in  $R^4$ , can be substituted by one or two  $R^3$  substituents;

Z is selected from the group consisting of

$\begin{array}{c} O \\ || \\ -CNR^4- \end{array}$ ;  $\begin{array}{c} O \\ || \\ -NR^4C- \end{array}$ ;  $\begin{array}{c} O \\ || \\ -NR^4CNR^4- \end{array}$ ;  
 $-CH_2CH_2-$ , and  $-CH=CH-$ , wherein either carbon atom can be substituted by one or two  $R^3$  substituents;

$R^1$  and  $R^2$  are each independently selected from the group consisting of

hydrogen, halogen,  $C_{1-10}$  alkyl,  $C_{3-8}$  cycloalkyl,  
 $C_{3-8}$  cycloheteroalkyl,  $C_{3-8}$  cycloalkyl  $C_{1-6}$  alkyl,  
 $C_{3-8}$  cycloheteroalkyl  $C_{1-6}$  alkyl, aryl, aryl  $C_{1-8}$  alkyl, amino,  
 amino  $C_{1-8}$  alkyl,  $C_{1-3}$  acylamino,  $C_{1-3}$  acylamino  $C_{1-8}$  alkyl,  
 $(C_{1-6}$  alkyl)<sub>p</sub>amino,  $(C_{1-6}$  alkyl)<sub>p</sub>amino  $C_{1-8}$  alkyl,  
 $C_{1-4}$  alkoxy,  $C_{1-4}$  alkoxy  $C_{1-6}$  alkyl, hydroxycarbonyl,  
 hydroxycarbonyl  $C_{1-6}$  alkyl,  $C_{1-3}$  alkoxycarbonyl,  
 $C_{1-3}$  alkoxycarbonyl  $C_{1-6}$  alkyl, hydroxycarbonyl-  
 $C_{1-6}$  alkyloxy, hydroxy, hydroxy  $C_{1-6}$  alkyl,  $C_{1-6}$  alkyloxy-  
 $C_{1-6}$  alkyl, nitro, cyano, trifluoromethyl, trifluoromethoxy,  
 trifluoroethoxy,  $C_{1-8}$  alkyl-S(O)<sub>p</sub>,  $(C_{1-8}$  alkyl)<sub>p</sub>aminocarbonyl,  
 $C_{1-8}$  alkyloxycarbonylamino,  $(C_{1-8}$  alkyl)<sub>p</sub>aminocarbonyloxy,

(aryl C<sub>1-8</sub> alkyl)<sub>p</sub>amino, (aryl)<sub>p</sub>amino, aryl C<sub>1-8</sub>  
alkylsulfonylamino, and C<sub>1-8</sub> alkylsulfonylamino;

or two R<sup>1</sup> substituents, when on the same carbon atom, are taken  
together with the carbon atom to which they are attached to  
form a carbonyl group;

each R<sup>3</sup> is independently selected from the group consisting of  
hydrogen,

aryl,

C<sub>1-10</sub> alkyl,

aryl-(CH<sub>2</sub>)<sub>r</sub>-O-(CH<sub>2</sub>)<sub>s</sub>-,

aryl-(CH<sub>2</sub>)<sub>r</sub>S(O)<sub>p</sub>-(CH<sub>2</sub>)<sub>s</sub>-,

aryl-(CH<sub>2</sub>)<sub>r</sub>-C(O)-(CH<sub>2</sub>)<sub>s</sub>-,

aryl-(CH<sub>2</sub>)<sub>r</sub>-C(O)-N(R<sup>4</sup>)-(CH<sub>2</sub>)<sub>s</sub>-,

aryl-(CH<sub>2</sub>)<sub>r</sub>-N(R<sup>4</sup>)-C(O)-(CH<sub>2</sub>)<sub>s</sub>-,

aryl-(CH<sub>2</sub>)<sub>r</sub>-N(R<sup>4</sup>)-(CH<sub>2</sub>)<sub>s</sub>-,

halogen,

hydroxyl,

oxo,

trifluoromethyl,

C<sub>1-8</sub> alkylcarbonylamino,

aryl C<sub>1-5</sub> alkoxy,

C<sub>1-5</sub> alkoxycarbonyl,

(C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonyl,

C<sub>1-6</sub> alkylcarbonyloxy,

C<sub>3-8</sub> cycloalkyl,

(C<sub>1-6</sub> alkyl)<sub>p</sub>amino,

amino C<sub>1-6</sub> alkyl,

arylaminocarbonyl,

aryl C<sub>1-5</sub> alkylaminocarbonyl,

aminocarbonyl,

aminocarbonyl C<sub>1-6</sub> alkyl,

hydroxycarbonyl,

hydroxycarbonyl C<sub>1-6</sub> alkyl,

- $\text{HC}\equiv\text{C}-(\text{CH}_2)_t-$ ,  
 $\text{C}_{1-6} \text{ alkyl}-\text{C}\equiv\text{C}-(\text{CH}_2)_t-$ ,  
 $\text{C}_{3-7} \text{ cycloalkyl}-\text{C}\equiv\text{C}-(\text{CH}_2)_t-$ ,  
 $\text{aryl}-\text{C}\equiv\text{C}-(\text{CH}_2)_t-$ ,  
5  $\text{C}_{1-6} \text{ alkylaryl}-\text{C}\equiv\text{C}-(\text{CH}_2)_t-$ ,  
 $\text{CH}_2=\text{CH}-(\text{CH}_2)_t-$ ,  
 $\text{C}_{1-6} \text{ alkyl}-\text{CH}=\text{CH}-(\text{CH}_2)_t-$ ,  
 $\text{C}_{3-7} \text{ cycloalkyl}-\text{CH}=\text{CH}-(\text{CH}_2)_t-$ ,  
 $\text{aryl}-\text{CH}=\text{CH}-(\text{CH}_2)_t-$ ,  
10  $\text{C}_{1-6} \text{ alkylaryl}-\text{CH}=\text{CH}-(\text{CH}_2)_t-$ ,  
 $\text{C}_{1-6} \text{ alkyl}-\text{SO}_2-(\text{CH}_2)_t-$ ,  
 $\text{C}_{1-6} \text{ alkylaryl}-\text{SO}_2-(\text{CH}_2)_t-$ ,  
 $\text{C}_{1-6} \text{ alkoxy}$ ,  
 $\text{aryl C}_{1-6} \text{ alkoxy}$ ,  
15  $\text{aryl C}_{1-6} \text{ alkyl}$ ,  
 $(\text{C}_{1-6} \text{ alkyl})_{\text{pamino C}_{1-6} \text{ alkyl}}$ ,  
 $(\text{aryl})_{\text{pamino}}$ ,  
 $(\text{aryl})_{\text{pamino C}_{1-6} \text{ alkyl}}$ ,  
 $(\text{aryl C}_{1-6} \text{ alkyl})_{\text{pamino}}$ ,  
20  $(\text{aryl C}_{1-6} \text{ alkyl})_{\text{pamino C}_{1-6} \text{ alkyl}}$ ,  
 $\text{arylcarbonyloxy}$ ,  
 $\text{aryl C}_{1-6} \text{ alkylcarbonyloxy}$ ,  
 $(\text{C}_{1-6} \text{ alkyl})_{\text{paminocarbonyloxy}}$ ,  
 $\text{C}_{1-8} \text{ alkylsulfonylamino}$ ,  
25  $\text{arylsulfonylamino}$ ,  
 $\text{C}_{1-8} \text{ alkylsulfonylamino C}_{1-6} \text{ alkyl}$ ,  
 $\text{arylsulfonylamino C}_{1-6} \text{ alkyl}$ ,  
 $\text{aryl C}_{1-6} \text{ alkylsulfonylamino}$ ,  
 $\text{aryl C}_{1-6} \text{ alkylsulfonylamino C}_{1-6} \text{ alkyl}$ ,  
30  $\text{C}_{1-8} \text{ alkoxycarbonylamino}$ ,  
 $\text{C}_{1-8} \text{ alkoxycarbonylamino C}_{1-8} \text{ alkyl}$ ,  
 $\text{aryloxycarbonylamino C}_{1-8} \text{ alkyl}$ ,  
 $\text{aryl C}_{1-8} \text{ alkoxycarbonylamino}$ ,  
 $\text{aryl C}_{1-8} \text{ alkoxycarbonylamino C}_{1-8} \text{ alkyl}$ ,

- C<sub>1-8</sub> alkylcarbonylamino,  
 C<sub>1-8</sub> alkylcarbonylamino C<sub>1-6</sub> alkyl,  
 arylcarbonylamino C<sub>1-6</sub> alkyl,  
 aryl C<sub>1-6</sub> alkylcarbonylamino,  
 5 aryl C<sub>1-6</sub> alkylcarbonylamino C<sub>1-6</sub> alkyl,  
 aminocarbonylamino C<sub>1-6</sub> alkyl,  
 (C<sub>1-8</sub> alkyl)paminocarbonylamino,  
 (C<sub>1-8</sub> alkyl)paminocarbonylamino C<sub>1-6</sub> alkyl,  
 (aryl)paminocarbonylamino C<sub>1-6</sub> alkyl,  
 10 (aryl C<sub>1-8</sub> alkyl)paminocarbonylamino,  
 (aryl C<sub>1-8</sub> alkyl)paminocarbonylamino C<sub>1-6</sub> alkyl,  
 aminosulfonylamino C<sub>1-6</sub> alkyl,  
 (C<sub>1-8</sub> alkyl)paminosulfonylamino,  
 (C<sub>1-8</sub> alkyl)paminosulfonylamino C<sub>1-6</sub> alkyl,  
 15 (aryl)paminosulfonylamino C<sub>1-6</sub> alkyl,  
 (aryl C<sub>1-8</sub> alkyl)paminosulfonylamino,  
 (aryl C<sub>1-8</sub> alkyl)paminosulfonylamino C<sub>1-6</sub> alkyl,  
 C<sub>1-6</sub> alkylsulfonyl,  
 C<sub>1-6</sub> alkylsulfonyl C<sub>1-6</sub> alkyl,  
 20 arylsulfonyl C<sub>1-6</sub> alkyl,  
 aryl C<sub>1-6</sub> alkylsulfonyl,  
 aryl C<sub>1-6</sub> alkylsulfonyl C<sub>1-6</sub> alkyl,  
 C<sub>1-6</sub> alkylcarbonyl,  
 C<sub>1-6</sub> alkylcarbonyl C<sub>1-6</sub> alkyl,  
 25 arylcarbonyl C<sub>1-6</sub> alkyl,  
 aryl C<sub>1-6</sub> alkylcarbonyl,  
 aryl C<sub>1-6</sub> alkylcarbonyl C<sub>1-6</sub> alkyl,  
 C<sub>1-6</sub> alkylthiocarbonylamino,  
 C<sub>1-6</sub> alkylthiocarbonylamino C<sub>1-6</sub> alkyl,  
 30 arylthiocarbonylamino C<sub>1-6</sub> alkyl,  
 aryl C<sub>1-6</sub> alkylthiocarbonylamino,  
 aryl C<sub>1-6</sub> alkylthiocarbonylamino C<sub>1-6</sub> alkyl,  
 (C<sub>1-8</sub> alkyl)paminocarbonyl C<sub>1-6</sub> alkyl,  
 (aryl)paminocarbonyl C<sub>1-6</sub> alkyl,

(aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonyl, and  
(aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonyl C<sub>1-6</sub> alkyl;  
or two R<sup>3</sup> substituents, when on the same carbon atom are taken  
together with the carbon atom to which they are attached to  
5 form a carbonyl group or a cyclopropyl group,  
wherein any of the alkyl groups of R<sup>3</sup> are either unsubstituted or  
substituted with one to three R<sup>1</sup> substituents, and provided that each R<sup>3</sup>  
is selected such that in the resultant compound the carbon atom or  
atoms to which R<sup>3</sup> is attached is itself attached to no more than one  
10 heteroatom;

each R<sup>4</sup> is independently selected from the group consisting of  
hydrogen,  
aryl,  
15 aminocarbonyl,  
C<sub>3-8</sub> cycloalkyl,  
amino C<sub>1-6</sub> alkyl,  
(aryl)<sub>p</sub>aminocarbonyl,  
(aryl C<sub>1-5</sub> alkyl)<sub>p</sub>aminocarbonyl,  
20 hydroxycarbonyl C<sub>1-6</sub> alkyl,  
C<sub>1-8</sub> alkyl,  
aryl C<sub>1-6</sub> alkyl,  
(C<sub>1-6</sub> alkyl)<sub>p</sub>amino C<sub>2-6</sub> alkyl,  
(aryl C<sub>1-6</sub> alkyl)<sub>p</sub>amino C<sub>2-6</sub> alkyl,  
25 C<sub>1-8</sub> alkylsulfonyl,  
C<sub>1-8</sub> alkoxycarbonyl,  
aryloxycarbonyl,  
aryl C<sub>1-8</sub> alkoxycarbonyl,  
C<sub>1-8</sub> alkylcarbonyl,  
30 arylcarbonyl,  
aryl C<sub>1-6</sub> alkylcarbonyl,  
(C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonyl,  
aminosulfonyl,  
C<sub>1-8</sub> alkylaminosulfonyl,

(aryl)<sub>p</sub>aminosulfonyl,  
 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminosulfonyl,  
 arylsulfonyl,  
 arylC<sub>1-6</sub> alkylsulfonyl,  
 5 C<sub>1-6</sub> alkylthiocarbonyl,  
 arylthiocarbonyl, and  
 aryl C<sub>1-6</sub> alkylthiocarbonyl,

wherein any of the alkyl groups of R<sup>4</sup> are either unsubstituted or  
 substituted with one to three R<sup>1</sup> substituents;

10

R<sup>5</sup> and R<sup>6</sup> are each independently selected from the group consisting of

hydrogen,  
 C<sub>1-10</sub> alkyl,  
 aryl,  
 15 aryl-(CH<sub>2</sub>)<sub>r</sub>-O-(CH<sub>2</sub>)<sub>s</sub>-,  
 aryl-(CH<sub>2</sub>)<sub>r</sub>S(O)<sub>p</sub>-(CH<sub>2</sub>)<sub>s</sub>-,  
 aryl-(CH<sub>2</sub>)<sub>r</sub>-C(O)-(CH<sub>2</sub>)<sub>s</sub>-,  
 aryl-(CH<sub>2</sub>)<sub>r</sub>-C(O)-N(R<sup>4</sup>)-(CH<sub>2</sub>)<sub>s</sub>-,  
 aryl-(CH<sub>2</sub>)<sub>r</sub>-N(R<sup>4</sup>)-C(O)-(CH<sub>2</sub>)<sub>s</sub>-,  
 20 aryl-(CH<sub>2</sub>)<sub>r</sub>-N(R<sup>4</sup>)-(CH<sub>2</sub>)<sub>s</sub>-,  
 halogen,  
 hydroxyl,  
 C<sub>1-8</sub> alkylcarbonylamino,  
 aryl C<sub>1-5</sub> alkoxy,  
 25 C<sub>1-5</sub> alkoxycarbonyl,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonyl,  
 C<sub>1-6</sub> alkylcarbonyloxy,  
 C<sub>3-8</sub> cycloalkyl,  
 (C<sub>1-6</sub> alkyl)<sub>p</sub>amino,  
 30 amino C<sub>1-6</sub> alkyl,  
 arylaminocarbonyl,  
 aryl C<sub>1-5</sub> alkylaminocarbonyl,  
 aminocarbonyl,  
 aminocarbonyl C<sub>1-6</sub> alkyl,

- hydroxycarbonyl,  
hydroxycarbonyl C<sub>1-6</sub> alkyl,  
HC≡C-(CH<sub>2</sub>)<sub>t</sub>-,  
C<sub>1-6</sub> alkyl-C≡C-(CH<sub>2</sub>)<sub>t</sub>-,  
5 C<sub>3-7</sub> cycloalkyl-C≡C-(CH<sub>2</sub>)<sub>t</sub>-,  
aryl-C≡C-(CH<sub>2</sub>)<sub>t</sub>-,  
C<sub>1-6</sub> alkylaryl-C≡C-(CH<sub>2</sub>)<sub>t</sub>-,  
CH<sub>2</sub>=CH-(CH<sub>2</sub>)<sub>t</sub>-,  
C<sub>1-6</sub> alkyl-CH=CH-(CH<sub>2</sub>)<sub>t</sub>-,  
10 C<sub>3-7</sub> cycloalkyl-CH=CH-(CH<sub>2</sub>)<sub>t</sub>-,  
aryl-CH=CH-(CH<sub>2</sub>)<sub>t</sub>-,  
C<sub>1-6</sub> alkylaryl-CH=CH-(CH<sub>2</sub>)<sub>t</sub>-,  
C<sub>1-6</sub> alkyl-SO<sub>2</sub>-(CH<sub>2</sub>)<sub>t</sub>-,  
C<sub>1-6</sub> alkylaryl-SO<sub>2</sub>-(CH<sub>2</sub>)<sub>t</sub>-,  
15 C<sub>1-6</sub> alkoxy,  
aryl C<sub>1-6</sub> alkoxy,  
aryl C<sub>1-6</sub> alkyl,  
(C<sub>1-6</sub> alkyl)<sub>p</sub>amino C<sub>1-6</sub> alkyl,  
(aryl)<sub>p</sub>amino,  
20 (aryl)<sub>p</sub>amino C<sub>1-6</sub> alkyl,  
(aryl C<sub>1-6</sub> alkyl)<sub>p</sub>amino,  
(aryl C<sub>1-6</sub> alkyl)<sub>p</sub>amino C<sub>1-6</sub> alkyl,  
arylcabonyloxy,  
aryl C<sub>1-6</sub> alkylcabonyloxy,  
25 (C<sub>1-6</sub> alkyl)<sub>p</sub>aminocabonyloxy,  
C<sub>1-8</sub> alkylsulfonylamino,  
arylsulfonylamino,  
C<sub>1-8</sub> alkylsulfonylamino C<sub>1-6</sub> alkyl,  
arylsulfonylamino C<sub>1-6</sub> alkyl,  
30 aryl C<sub>1-6</sub> alkylsulfonylamino,  
aryl C<sub>1-6</sub> alkylsulfonylamino C<sub>1-6</sub> alkyl,  
C<sub>1-8</sub> alkoxycarbonylamino,  
C<sub>1-8</sub> alkoxycarbonylamino C<sub>1-8</sub> alkyl,  
aryloxycarbonylamino C<sub>1-8</sub> alkyl,  
35 aryl C<sub>1-8</sub> alkoxycarbonylamino,



- aryl C<sub>1-8</sub> alkoxycarbonylamino C<sub>1-8</sub> alkyl,  
 C<sub>1-8</sub> alkylcarbonylamino,  
 C<sub>1-8</sub> alkylcarbonylamino C<sub>1-6</sub> alkyl,  
 arylcarbonylamino C<sub>1-6</sub> alkyl,  
 5 aryl C<sub>1-6</sub> alkylcarbonylamino,  
 aryl C<sub>1-6</sub> alkylcarbonylamino C<sub>1-6</sub> alkyl,  
 aminocarbonylamino C<sub>1-6</sub> alkyl,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonylamino,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonylamino C<sub>1-6</sub> alkyl,  
 10 (aryl)<sub>p</sub>aminocarbonylamino C<sub>1-6</sub> alkyl,  
 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonylamino,  
 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonylamino C<sub>1-6</sub> alkyl,  
 aminosulfonylamino C<sub>1-6</sub> alkyl,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub>aminosulfonylamino,  
 15 (C<sub>1-8</sub> alkyl)<sub>p</sub>aminosulfonylamino C<sub>1-6</sub> alkyl,  
 (aryl)<sub>p</sub>aminosulfonylamino C<sub>1-6</sub> alkyl,  
 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminosulfonylamino,  
 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminosulfonylamino C<sub>1-6</sub> alkyl,  
 C<sub>1-6</sub> alkylsulfonyl,  
 20 C<sub>1-6</sub> alkylsulfonyl C<sub>1-6</sub> alkyl,  
 arylsulfonyl C<sub>1-6</sub> alkyl,  
 aryl C<sub>1-6</sub> alkylsulfonyl,  
 aryl C<sub>1-6</sub> alkylsulfonyl C<sub>1-6</sub> alkyl,  
 C<sub>1-6</sub> alkylcarbonyl,  
 25 C<sub>1-6</sub> alkylcarbonyl C<sub>1-6</sub> alkyl,  
 arylcarbonyl C<sub>1-6</sub> alkyl,  
 aryl C<sub>1-6</sub> alkylcarbonyl,  
 aryl C<sub>1-6</sub> alkylcarbonyl C<sub>1-6</sub> alkyl,  
 C<sub>1-6</sub> alkylthiocarbonylamino,  
 30 C<sub>1-6</sub> alkylthiocarbonylamino C<sub>1-6</sub> alkyl,  
 arylthiocarbonylamino C<sub>1-6</sub> alkyl,  
 aryl C<sub>1-6</sub> alkylthiocarbonylamino,  
 aryl C<sub>1-6</sub> alkylthiocarbonylamino C<sub>1-6</sub> alkyl,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonyl C<sub>1-6</sub> alkyl,

(aryl)<sub>p</sub>aminocarbonyl C<sub>1-6</sub> alkyl,  
 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonyl, and  
 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonyl C<sub>1-6</sub> alkyl;

or R<sup>5</sup> and R<sup>6</sup> are taken together with the carbon atom to which  
 5 they are attached to form a carbonyl group,  
 wherein any of the alkyl groups of R<sup>5</sup> or R<sup>6</sup> are either unsubstituted or  
 substituted with one to three R<sup>1</sup> substituents, and provided that each R<sup>5</sup>  
 and R<sup>6</sup> are selected such that in the resultant compound the carbon  
 atom to which R<sup>5</sup> and R<sup>6</sup> are attached is itself attached to no more than  
 10 one heteroatom;

R<sup>7</sup> and R<sup>8</sup> are each independently selected from the group consisting of  
 hydrogen,  
 C<sub>1-10</sub> alkyl,  
 15 aryl,  
 aryl-(CH<sub>2</sub>)<sub>r</sub>-O-(CH<sub>2</sub>)<sub>s</sub>-,  
 aryl-(CH<sub>2</sub>)<sub>r</sub>S(O)<sub>p</sub>-(CH<sub>2</sub>)<sub>s</sub>-,  
 aryl-(CH<sub>2</sub>)<sub>r</sub>-C(O)-(CH<sub>2</sub>)<sub>s</sub>-,  
 aryl-(CH<sub>2</sub>)<sub>r</sub>-C(O)-N(R<sup>4</sup>)-(CH<sub>2</sub>)<sub>s</sub>-,  
 20 aryl-(CH<sub>2</sub>)<sub>r</sub>-N(R<sup>4</sup>)-C(O)-(CH<sub>2</sub>)<sub>s</sub>-,  
 aryl-(CH<sub>2</sub>)<sub>r</sub>-N(R<sup>4</sup>)-(CH<sub>2</sub>)<sub>s</sub>-,  
 halogen,  
 hydroxyl,  
 C<sub>1-8</sub> alkylcarbonylamino,  
 25 aryl C<sub>1-5</sub> alkoxy,  
 C<sub>1-5</sub> alkoxycarbonyl,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonyl,  
 C<sub>1-6</sub> alkylcarbonyloxy,  
 C<sub>3-8</sub> cycloalkyl,  
 30 (C<sub>1-6</sub> alkyl)<sub>p</sub>amino,  
 amino C<sub>1-6</sub> alkyl,  
 arylaminocarbonyl,  
 aryl C<sub>1-5</sub> alkylaminocarbonyl,  
 aminocarbonyl,

- aminocarbonyl C<sub>1-6</sub> alkyl,  
hydroxycarbonyl,  
hydroxycarbonyl C<sub>1-6</sub> alkyl,  
HC≡C-(CH<sub>2</sub>)<sub>t</sub>-,  
5 C<sub>1-6</sub> alkyl-C≡C-(CH<sub>2</sub>)<sub>t</sub>-,  
C<sub>3-7</sub> cycloalkyl-C≡C-(CH<sub>2</sub>)<sub>t</sub>-,  
aryl-C≡C-(CH<sub>2</sub>)<sub>t</sub>-,  
C<sub>1-6</sub> alkylaryl-C≡C-(CH<sub>2</sub>)<sub>t</sub>-,  
CH<sub>2</sub>=CH-(CH<sub>2</sub>)<sub>t</sub>-,  
10 C<sub>1-6</sub> alkyl-CH=CH-(CH<sub>2</sub>)<sub>t</sub>-,  
C<sub>3-7</sub> cycloalkyl-CH=CH-(CH<sub>2</sub>)<sub>t</sub>-,  
aryl-CH=CH-(CH<sub>2</sub>)<sub>t</sub>-,  
C<sub>1-6</sub> alkylaryl-CH=CH-(CH<sub>2</sub>)<sub>t</sub>-,  
C<sub>1-6</sub> alkyl-SO<sub>2</sub>-(CH<sub>2</sub>)<sub>t</sub>-,  
15 C<sub>1-6</sub> alkylaryl-SO<sub>2</sub>-(CH<sub>2</sub>)<sub>t</sub>-,  
C<sub>1-6</sub> alkoxy,  
aryl C<sub>1-6</sub> alkoxy,  
aryl C<sub>1-6</sub> alkyl,  
(C<sub>1-6</sub> alkyl)<sub>p</sub>amino C<sub>1-6</sub> alkyl,  
20 (aryl)<sub>p</sub>amino,  
(aryl)<sub>p</sub>amino C<sub>1-6</sub> alkyl,  
(aryl C<sub>1-6</sub> alkyl)<sub>p</sub>amino,  
(aryl C<sub>1-6</sub> alkyl)<sub>p</sub>amino C<sub>1-6</sub> alkyl,  
arylcarbonyloxy,  
25 aryl C<sub>1-6</sub> alkylcarbonyloxy,  
(C<sub>1-6</sub> alkyl)<sub>p</sub>aminocarbonyloxy,  
C<sub>1-8</sub> alkylsulfonylamino,  
arylcarbonylamino,  
arylsulfonylamino,  
30 C<sub>1-8</sub> alkylsulfonylamino C<sub>1-6</sub> alkyl,  
arylsulfonylamino C<sub>1-6</sub> alkyl,  
aryl C<sub>1-6</sub> alkylsulfonylamino,  
aryl C<sub>1-6</sub> alkylsulfonylamino C<sub>1-6</sub> alkyl,  
C<sub>1-8</sub> alkoxycarbonylamino,

- C<sub>1-8</sub> alkoxy carbonylamino C<sub>1-8</sub> alkyl,  
 aryloxy carbonylamino C<sub>1-8</sub> alkyl,  
 aryl C<sub>1-8</sub> alkoxy carbonylamino,  
 aryl C<sub>1-8</sub> alkoxy carbonylamino C<sub>1-8</sub> alkyl,  
 5 C<sub>1-8</sub> alkyl carbonylamino C<sub>1-6</sub> alkyl,  
 aryl carbonylamino C<sub>1-6</sub> alkyl,  
 aryl C<sub>1-6</sub> alkyl carbonylamino,  
 aryl C<sub>1-6</sub> alkyl carbonylamino C<sub>1-6</sub> alkyl,  
 aminocarbonylamino C<sub>1-6</sub> alkyl,  
 10 aryl aminocarbonylamino,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonylamino,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonylamino C<sub>1-6</sub> alkyl,  
 (aryl)<sub>p</sub>aminocarbonylamino C<sub>1-6</sub> alkyl,  
 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonylamino,  
 15 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonylamino C<sub>1-6</sub> alkyl,  
 aminosulfonylamino C<sub>1-6</sub> alkyl,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub>aminosulfonylamino,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub>aminosulfonylamino C<sub>1-6</sub> alkyl,  
 (aryl)<sub>p</sub>aminosulfonylamino C<sub>1-6</sub> alkyl,  
 20 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminosulfonylamino,  
 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminosulfonylamino C<sub>1-6</sub> alkyl,  
 C<sub>1-6</sub> alkyl sulfonyl,  
 C<sub>1-6</sub> alkyl sulfonyl C<sub>1-6</sub> alkyl,  
 aryl sulfonyl C<sub>1-6</sub> alkyl,  
 25 aryl C<sub>1-6</sub> alkyl sulfonyl,  
 aryl C<sub>1-6</sub> alkyl sulfonyl C<sub>1-6</sub> alkyl,  
 C<sub>1-6</sub> alkyl carbonyl,  
 C<sub>1-6</sub> alkyl carbonyl C<sub>1-6</sub> alkyl,  
 aryl carbonyl C<sub>1-6</sub> alkyl,  
 30 aryl C<sub>1-6</sub> alkyl carbonyl,  
 aryl C<sub>1-6</sub> alkyl carbonyl C<sub>1-6</sub> alkyl,  
 C<sub>1-6</sub> alkyl thiocarbonylamino,  
 C<sub>1-6</sub> alkyl thiocarbonylamino C<sub>1-6</sub> alkyl,  
 aryl thiocarbonylamino C<sub>1-6</sub> alkyl,

aryl C<sub>1-6</sub> alkylthiocarbonylamino,  
 aryl C<sub>1-6</sub> alkylthiocarbonylamino C<sub>1-6</sub> alkyl,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonyl C<sub>1-6</sub> alkyl,  
 (aryl)<sub>p</sub>aminocarbonyl C<sub>1-6</sub> alkyl,  
 5 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonyl,  
 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonyl C<sub>1-6</sub> alkyl, and  
 C<sub>7-20</sub> polycyclyl C<sub>0-8</sub> alkylsulfonylamino,

wherein any of the alkyl groups of R<sup>7</sup> and R<sup>8</sup> are either unsubstituted or  
 substituted with one to three R<sup>1</sup> substituents, and provided that each  
 10 R<sup>7</sup> and R<sup>8</sup> are selected such that in the resultant compound the carbon  
 atom to which R<sup>7</sup> and R<sup>8</sup> are attached is itself attached to no more than  
 one heteroatom;

R<sup>9</sup> is selected from the group consisting of  
 15 hydrogen,  
 C<sub>1-8</sub> alkyl,  
 aryl,  
 aryl C<sub>1-8</sub> alkyl,  
 C<sub>1-8</sub> alkylcarbonyloxy C<sub>1-4</sub> alkyl,  
 20 aryl C<sub>1-8</sub> alkylcarbonyloxy C<sub>1-4</sub> alkyl,  
 C<sub>1-8</sub> alkylaminocarbonylmethylene, and  
 C<sub>1-8</sub> dialkylaminocarbonylmethylene;

wherein  
 25 each m is independently an integer from 0 to 6;  
 each n is independently an integer from 0 to 6;  
 each p is independently an integer from 0 to 2;  
 each r is independently an integer from 1 to 3;  
 each s is independently an integer from 0 to 3; and  
 30 each t is independently an integer from 0 to 3;

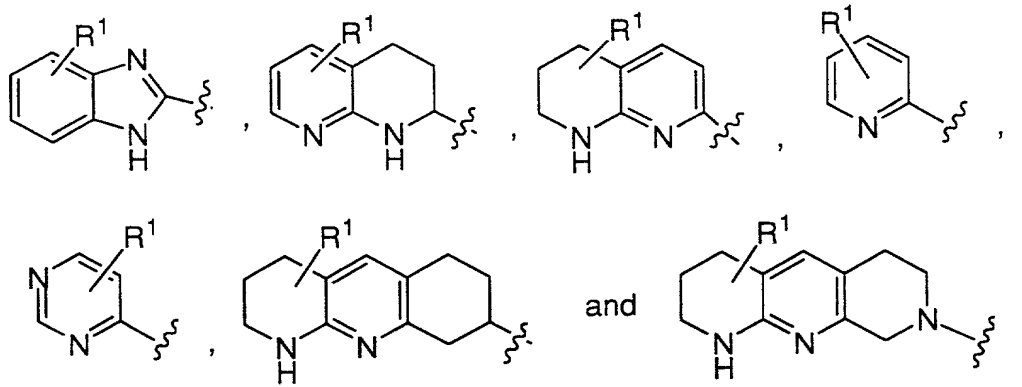
and the pharmaceutically acceptable salts thereof.

2. The compound of Claim 1 wherein X is

a 6-membered monocyclic aromatic ring system having 1 or 2  
nitrogen atoms wherein each ring carbon atom is unsubstituted  
or substituted with one R<sup>1</sup> substituent, or

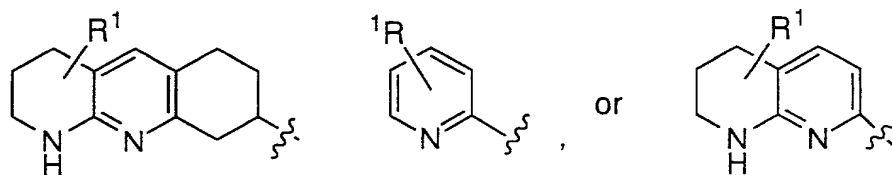
a 9- to 14-membered polycyclic ring system, wherein one or more  
of the rings is aromatic, and wherein the polycyclic ring system  
has 0, 1, 2, 3 or 4 heteroatoms selected from the group consisting of  
N, O, and S, and wherein the ring nitrogen atoms are  
unsubstituted or substituted with one R<sup>1</sup> substituent and the ring  
carbon atoms are unsubstituted or substituted with one or two R<sup>1</sup>  
substituents.

3. The compound of Claim 2 wherein X is selected from  
the group consisting of



and R<sup>1</sup> is as defined in Claim 1 above.

4. The compound of Claim 3 wherein X is



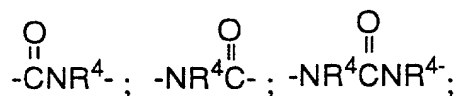
and R<sup>1</sup> is as defined in Claim 1 above.

5                    5.     The compound of Claim 3 wherein Y is selected from the group consisting of

- 10                    -(CH<sub>2</sub>)<sub>m</sub>-,  
                       -(CH<sub>2</sub>)<sub>m</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-,  
                       -(CH<sub>2</sub>)<sub>m</sub>-NR<sup>4</sup>-(CH<sub>2</sub>)<sub>n</sub>-,  
                       -(CH<sub>2</sub>)<sub>m</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-,  
                       -(CH<sub>2</sub>)<sub>m</sub>-SO-(CH<sub>2</sub>)<sub>n</sub>-,  
                       -(CH<sub>2</sub>)<sub>m</sub>-SO<sub>2</sub>-(CH<sub>2</sub>)<sub>n</sub>-,  
                       -(CH<sub>2</sub>)<sub>m</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>p</sub>-,  
 15                    -(CH<sub>2</sub>)<sub>m</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-NR<sup>4</sup>-(CH<sub>2</sub>)<sub>p</sub>-,  
                       -(CH<sub>2</sub>)<sub>m</sub>-NR<sup>4</sup>-(CH<sub>2</sub>)<sub>n</sub>-NR<sup>4</sup>-(CH<sub>2</sub>)<sub>p</sub>-, and  
                       -(CH<sub>2</sub>)<sub>m</sub>-NR<sup>4</sup>-(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>p</sub>-,

wherein any methylene (CH<sub>2</sub>) carbon atom in Y, other than in R<sup>4</sup>, can be substituted by one or two R<sup>3</sup> substituents;

20                    and Z is selected from the group consisting of



25                    -CH<sub>2</sub>CH<sub>2</sub>-, and -CH=CH-, wherein either carbon atom can be substituted by one or two R<sup>3</sup> substituents.

                      6.     The compound of Claim 5 wherein Y is selected from the group consisting of

30                    (CH<sub>2</sub>)<sub>m</sub>, (CH<sub>2</sub>)<sub>m</sub>-S-(CH<sub>2</sub>)<sub>n</sub>, and (CH<sub>2</sub>)<sub>m</sub>-NR<sup>4</sup>-(CH<sub>2</sub>)<sub>n</sub>,

wherein any methylene (CH<sub>2</sub>) carbon atom in Y, other than in R<sup>4</sup>, can be substituted by one or two R<sup>3</sup> substituents; and Z is selected from the group consisting of

5

$\begin{array}{c} \text{O} \\ \parallel \\ -\text{CNR}^4- \end{array}$ ;  $\begin{array}{c} \text{O} \\ \parallel \\ -\text{NR}^4\text{CNR}^4- \end{array}$ ; and  
 -CH<sub>2</sub>CH<sub>2</sub>-, wherein either carbon atom can be substituted by one or two R<sup>3</sup> substituents.

10

7. The compound of Claim 6 wherein each R<sup>3</sup> is independently selected from the group consisting of

15

hydrogen,  
 fluoro,  
 trifluoromethyl,  
 aryl,  
 C<sub>1-8</sub> alkyl,  
 arylC<sub>1-6</sub> alkyl  
 hydroxyl,  
 oxo,  
 arylaminocarbonyl,  
 aryl C<sub>1-5</sub> alkylaminocarbonyl,  
 aminocarbonyl, and  
 aminocarbonyl C<sub>1-6</sub> alkyl;

20

25 and each R<sup>4</sup> is independently selected from the group consisting of

30

hydrogen,  
 aryl,  
 C<sub>3-8</sub> cycloalkyl,  
 C<sub>1-8</sub> alkyl,  
 C<sub>1-8</sub> alkylcarbonyl,  
 arylcarbonyl,  
 C<sub>1-6</sub> alkylsulfonyl,  
 arylsulfonyl,



arylC<sub>1-6</sub>alkylsulfonyl,  
 arylC<sub>1-6</sub>alkylcarbonyl,  
 C<sub>1-8</sub>alkylaminocarbonyl,  
 arylC<sub>1-5</sub>alkylaminocarbonyl,  
 5 arylC<sub>1-8</sub>alkoxycarbonyl, and  
 C<sub>1-8</sub>alkoxycarbonyl.

8. The compound of Claim 7 wherein R<sup>6</sup>, R<sup>7</sup>, and R<sup>8</sup> are  
 each hydrogen and R<sup>5</sup> is selected from the group consisting of  
 10 hydrogen,  
 aryl,  
 C<sub>1-8</sub> alkyl,  
 aryl-C≡C-(CH<sub>2</sub>)<sub>t</sub>-,  
 aryl C<sub>1-6</sub> alkyl,  
 15 CH<sub>2</sub>=CH-(CH<sub>2</sub>)<sub>t</sub>-, and  
 HC≡C-(CH<sub>2</sub>)<sub>t</sub>-.

9. The compound of Claim 8 wherein R<sup>9</sup> is selected from  
 the group consisting of hydrogen, methyl, and ethyl.

10. The compound of Claim 9 wherein R<sup>9</sup> is hydrogen.

11. The compound of Claim 7 wherein R<sup>5</sup>, R<sup>6</sup>, and R<sup>8</sup> are  
 each hydrogen and R<sup>7</sup> is selected from the group consisting of  
 25 hydrogen,  
 aryl,  
 C<sub>1-8</sub> alkylcarbonylamino,  
 C<sub>1-8</sub> alkylsulfonylamino,  
 arylcarbonylamino,  
 30 arylsulfonylamino,  
 C<sub>1-8</sub> alkylsulfonylamino C<sub>1-6</sub> alkyl,  
 arylsulfonylamino C<sub>1-6</sub> alkyl,  
 aryl C<sub>1-6</sub> alkylsulfonylamino,  
 aryl C<sub>1-6</sub> alkylsulfonylamino C<sub>1-6</sub> alkyl,

C<sub>1-8</sub> alkoxycarbonylamino,  
 C<sub>1-8</sub> alkoxycarbonylamino C<sub>1-8</sub> alkyl,  
 aryloxy carbonylamino C<sub>1-8</sub> alkyl,  
 aryl C<sub>1-8</sub> alkoxycarbonylamino,  
 5 aryl C<sub>1-8</sub> alkoxycarbonylamino C<sub>1-8</sub> alkyl,  
 C<sub>1-8</sub> alkyl carbonylamino C<sub>1-6</sub> alkyl,  
 aryl carbonylamino C<sub>1-6</sub> alkyl,  
 aryl C<sub>1-6</sub> alkyl carbonylamino,  
 aryl C<sub>1-6</sub> alkyl carbonylamino C<sub>1-6</sub> alkyl,  
 10 aminocarbonylamino C<sub>1-6</sub> alkyl,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub> aminocarbonylamino,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub> aminocarbonylamino C<sub>1-6</sub> alkyl,  
 (aryl)<sub>p</sub> aminocarbonylamino C<sub>1-6</sub> alkyl,  
 aryl aminocarbonylamino,  
 15 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub> aminocarbonylamino,  
 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub> aminocarbonylamino C<sub>1-6</sub> alkyl,  
 aminosulfonylamino C<sub>1-6</sub> alkyl,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub> aminosulfonylamino,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub> aminosulfonylamino C<sub>1-6</sub> alkyl,  
 20 (aryl)<sub>p</sub> aminosulfonylamino C<sub>1-6</sub> alkyl,  
 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub> aminosulfonylamino,  
 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub> aminosulfonylamino C<sub>1-6</sub> alkyl,  
 C<sub>1-6</sub> alkylthiocarbonylamino,  
 C<sub>1-6</sub> alkylthiocarbonylamino C<sub>1-6</sub> alkyl,  
 25 arylthiocarbonylamino C<sub>1-6</sub> alkyl,  
 aryl C<sub>1-6</sub> alkylthiocarbonylamino,  
 aryl C<sub>1-6</sub> alkylthiocarbonylamino C<sub>1-6</sub> alkyl, and  
 C<sub>7-20</sub> polycyclyl C<sub>0-8</sub> alkylsulfonylamino.

30 12. The compound of Claim 11 wherein R<sup>5</sup>, R<sup>6</sup>, and R<sup>8</sup>  
 are each hydrogen and R<sup>7</sup> is selected from the group consisting of  
 hydrogen,  
 aryl,  
 C<sub>1-8</sub> alkyl carbonylamino,

aryl C<sub>1-6</sub> alkylcarbonylamino,  
 arylcarbonylamino,  
 C<sub>1-8</sub> alkylsulfonylamino,  
 aryl C<sub>1-6</sub> alkylsulfonylamino,  
 5 arylsulfonylamino,  
 C<sub>1-8</sub> alkoxycarbonylamino,  
 aryl C<sub>1-8</sub> alkoxycarbonylamino,  
 arylaminocarbonylamino,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonylamino,  
 10 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminocarbonylamino,  
 (C<sub>1-8</sub> alkyl)<sub>p</sub>aminosulfonylamino, and  
 (aryl C<sub>1-8</sub> alkyl)<sub>p</sub>aminosulfonylamino.

13. The compound according to Claim 12 wherein R<sup>9</sup> is  
 15 selected from the group consisting of hydrogen, methyl, and ethyl.

14. The compound according to Claim 13 wherein R<sup>9</sup> is  
 hydrogen.

15. The compound of Claim 7 selected from the group  
 20 consisting of:

3-(5-(5,6,7,8-Tetrahydro[1,8]naphthyridin-2-yl)-pentanoylamino)-  
 propionic acid;

25 3(S)-(Pyridin-3-yl)-3-(5-(5,6,7,8-tetrahydro[1,8]naphthyridin-2-yl)-  
 pentanoylamino)-propionic acid;

30 3(S)-(5,6,7,8-Tetrahydroquinolin-3-yl)-3-(5-(5,6,7,8-  
 tetrahydro[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid  
 (trifluoroacetate);

2(S)-Benzenesulfonylamino-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-  
 yl)-pentanoylamino)-propionic acid trifluoroacetate;

- 3(S)-(Quinolin-3-yl)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-  
pentanoylamino)-propionic acid;
- 5 3(R)-(Quinolin-3-yl)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-  
pentanoylamino)-propionic acid;
- 3-(Quinolin-3-yl)-3-(7-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-  
heptanoylamino)-propionic acid bis(trifluoroacetate);
- 10 3-(Quinolin-3-yl)-3-(6-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-  
hexanoylamino)-propionic acid;
- 15 3(S)-(3-Fluorophenyl)-3-(4-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-  
ylamino)-butyrylamino)-propionic acid bis(trifluoroacetate);
- 3(S)-(5-(5,6,7,8-Tetrahydro-[1,8]naphthyridin-2-yl)-pentanoylamino)-pent-  
4-enoic acid;
- 20 3(S)-(3-Fluorophenyl)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-  
pentanoylamino)-propionic acid;
- 2-(3-Fluorophenyl)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-  
pentanoylamino)-propionic acid trifluoroacetate salt;
- 25 3(S)-(Benzo[1,3]dioxol-5-yl)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-  
yl)-pentanoylamino)-propionic acid;
- 30 3(S)-(2,3-Dihydro-benzofuran-6-yl)-3-(5-(5,6,7,8-tetrahydro-  
[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid;
- 3(S)-(2-Oxo-2,3-dihydro-benzoxazol-6-yl)-3-(5-(5,6,7,8-tetrahydro-  
[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid trifluoroacetate;

3(S)-(3-Fluorophenyl)-3-{3-[(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-ylmethyl)-amino]-propionylamino}-propionic acid;

5 3(S)-(3-Fluorophenyl)-3-(2-{propyl-[2-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-ethyl]-amino}-acetylamino)-propionic acid trifluoroacetate;

10 3(S)-(3-Fluorophenyl)-3-(2-{phenethyl-[2-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-ethyl]-amino}-acetylamino)-propionic acid trifluoroacetate;

3(S)-(3-Fluorophenyl)-3-{3(S)-[(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-ylmethyl)-amino]-pent-4-ynoylamino}-propionic acid;

15 3(S)-(3-Fluorophenyl)-3-{3(S)-(3-fluorophenyl)-3-[(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-ylmethyl)-amino]-propionylamino}-propionic acid bis(trifluoroacetate);

20 3(S)-(3-Fluoro-4-phenyl-phenyl)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid trifluoroacetate;

2(S)-(2-Thienylsulfonylamino)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid trifluoroacetate;

25 3(S)-(3-Fluorophenyl)-3-{3-methyl-3-[(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-ylmethyl)-amino]-propionylamino}-propionic acid;

30 3(S)-(3-Fluorophenyl)-3-{2-[2-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-ethylamino]-acetylamino}-propionic acid;

3(S)-(3-Fluorophenyl)-3{[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-propyl]-ureido}-propionic acid;

35 2(S)-(Methanesulfonylamino)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid;

3(S)-(2,3-Dihydro-benzofuran-6-yl)-3-[3-(1,2,3,4,6,7,8(R or S),9-octahydro-benzo[*b*][1,8]naphthyridin-8-yl)-propionylamino]-propionic acid;

- 5 3(S)-(2,3-Dihydro-benzofuran-6-yl)-3-[3-(1,2,3,4,6,7,8(S or R),9-octahydro-benzo[*b*][1,8]naphthyridin-8-yl)-propionylamino]-propionic acid;

3(S)-(6-Methoxy-pyridin-3-yl)-3-[N-methyl-3-(1,2,3,4,6,7,8,9-octahydro-benzo[*b*][1,8]naphthyridin-8-yl-propionyl)-amino]propionic acid;

10

3(S)-(2,3-Dihydro-benzofuran-6-yl)-3-[3-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-ylmethylsulfanyl)propionylamino]-propionic acid bis(trifluoroacetate);

- 15 3-(Quinolin-3-yl)-7-[(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-ylmethyl)amino]-heptanoic acid;

3-(Quinolin-3-yl)-7-[acetyl-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-ylmethyl)amino]-heptanoic acid;

20

3-(Quinolin-3-yl)-7-[methanesulfonyl-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-ylmethyl)amino]-heptanoic acid;

- 25 3-[5-(2-Amino-pyrimidin-4-yl)-pentanoylamino]-3(S)-(quinolin-3-yl)-propionic acid;

9-(5,6,7,8-Tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

- 30 2-(Benzenesulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-non-4-enoic acid bis(trifluoroacetate);

2(S)-(Benzenesulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid;

35

2(R)-(Benzenesulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid;

5 2(S)-(Benzenesulfonylamino)-10-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-decanoic acid;

2(S)-(Benzenesulfonylamino)-8-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-octanoic acid;

10 2(S)-(Cyclohexylmethanesulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid hydrochloride;

15 2(S)-(7,7-Dimethyl-2-oxo-bicyclo[2.2.1]hept-1(S)-ylmethanesulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid hydrochloride;

2(S)-(Phenylmethanesulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid;

20 2(S)-(Cyclohexanesulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid hydrochloride;

25 2(S)-(Butane-1-sulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid hydrochloride;

2(S)-(3-Benzylureido)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid;

30 2(S)-(Benzyloxycarbonylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid;

2(S)-(Phenylacetyl-amino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid;

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2(S)-(Acetylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid;

5 2(S)-(Benzoylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid;

3-(Quinolin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

10 3(S)-(Quinolin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

15 3(R)-(Quinolin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3-(Quinolin-3-yl)-7-(1,2,3,4,6,7,8,9-octahydro-benzo[b][1,8]-naphthyridin-8-yl)-heptanoic acid bis(hydrochloride);

20 6-Oxo-3-(quinolin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3-(N-Oxo-quinolin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

25 3-(Phenyl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3-(Benzo[b]thiophen-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

30 3(R)-(Benzo[b]thiophen-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

35 3(S)-(Benzo[b]thiophen-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;



3-(Pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

5 3(R)-(Pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(S)-(Pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

10 3-(3-Fluorophenyl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

15 3(R)-(3-Fluorophenyl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(S)-(3-Fluorophenyl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

20 3-(2,3-Dihydro-benzofuran-6-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(S)-(2,3-Dihydro-benzofuran-6-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

25 3(R)-(2,3-Dihydro-benzofuran-6-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3-(2,3-Dihydro-benzofuran-6-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-non-4-enoic acid trifluoroacetate;

30 3-(2,3-Dihydro-furo[3,2-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

35 3(R)-(2,3-Dihydro-furo[3,2-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

- 3(S)-(2,3-Dihydro-furo[3,2-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]  
naphthyridin-2-yl)-nonanoic acid;
- 5 3-(Furo[2,3b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-  
nonanoic acid;
- 3(R)-(Furo[2,3b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-  
nonanoic acid;
- 10 3(S)-(Furo[2,3b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-  
nonanoic acid;
- 3-(2,3-Dihydro-furo[2,3-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]  
15 naphthyridin-2-yl)-nonanoic acid;
- 3(R)-(2,3-Dihydro-furo[2,3-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]  
naphthyridin-2-yl)-nonanoic acid;
- 20 3(S)-(2,3-Dihydro-furo[2,3-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]  
naphthyridin-2-yl)-nonanoic acid;
- 3-(6-Methoxy-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-  
nonanoic acid;
- 25 3(S)-(6-Methoxy-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-  
yl)-nonanoic acid;
- 3(R)-(6-Methoxy-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-  
30 yl)-nonanoic acid;
- 3-(6-Methoxy-pyridin-3-yl)-5-oxo-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-  
2-yl)-nonanoic acid;

3(R)-(6-Methoxy-pyridin-3-yl)-5-oxo-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

5 3(S)-(6-Methoxy-pyridin-3-yl)-5-oxo-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3-(Pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid trifluoroacetate;

10 3(R)-(Pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid trifluoroacetate;

15 3(S)-(Pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid trifluoroacetate;

3-(2-Methyl-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

20 3(R)-(2-Methyl-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(S)-(2-Methyl-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

25 3-(2-Methoxy-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

30 3(R)-(2-Methoxy-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(S)-(2-Methoxy-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

35 3-(6-Amino-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(R)-(6-Amino-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

- 5 3(S)-(6-Amino-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3-(Benzo[b]thiazol-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid hydrochloride;

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3(R)-(Benzo[b]thiazol-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid hydrochloride;

- 15 3(S)-(Benzo[b]thiazol-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid hydrochloride;

3-(6-Oxo-1,6-dihydro-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid bis-(trifluoroacetate);

- 20 and the pharmaceutically acceptable salts thereof.

16. The compound of Claim 15 selected from the group consisting of:

- 25 3(S)-(Pyridin-3-yl)-3-(5-(5,6,7,8-tetrahydro[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid;

2(S)-Benzenesulfonylamino-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid trifluoroacetate;

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3(S)-(Quinolin-3-yl)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid;

- 35 3(R)-(Quinolin-3-yl)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid;

2(S)-(2-Thienylsulfonylamino)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid trifluoroacetate;

- 5 3(S)-(2,3-Dihydro-benzofuran-6-yl)-3-[3-(1,2,3,4,6,7,8(R or S),9-octahydro-benzo[*b*][1,8]naphthyridin-8-yl)-propionylamino]-propionic acid;

3(S)-(2,3-Dihydro-benzofuran-6-yl)-3-[3-(1,2,3,4,6,7,8(S or R),9-octahydro-benzo[*b*][1,8]naphthyridin-8-yl)-propionylamino]-propionic acid;

10

3(S)-(6-Methoxy-pyridin-3-yl)-3-[N-methyl-3-(1,2,3,4,6,7,8,9-octahydro-benzo[*b*][1,8]naphthyridin-8-yl-propionyl)-amino]propionic acid;

15

3-[5-(2-Amino-pyrimidin-4-yl)-pentanoylamino]-3(S)-(quinolin-3-yl)-propionic acid;

2-(Benzenesulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-non-4-enoic acid bis(trifluoroacetate);

20

and the pharmaceutically acceptable salts thereof.

17. The compound of Claim 15 selected from the group consisting of:

- 25 3(R)-(Quinolin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(S)-(Quinolin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

30

3(R)-(Benzo[*b*]thiophen-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

35

3(S)-(Benzo[*b*]thiophen-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

- 3(R)-(Pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 5 3(S)-(Pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 3(R)-(3-Fluorophenyl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 10 3(S)-(3-Fluorophenyl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 3(R)-(2,3-Dihydro-benzofuran-6-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 15 3(S)-(2,3-Dihydro-benzofuran-6-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 20 3(R)-(2,3-Dihydro-furo[3,2-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 3(S)-(2,3-Dihydro-furo[3,2-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 25 3(R)-(Furo[2,3b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 30 3(S)-(Furo[2,3b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 3(R)-(2,3-Dihydro-furo[2,3-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

- 3(S)-(2,3-Dihydro-furo[2,3-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-  
naphthyridin-2-yl)-nonanoic acid;
- 5 3(R)-(6-Methoxy-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-  
yl)-nonanoic acid;
- 3(S)-(6-Methoxy-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-  
yl)-nonanoic acid;
- 10 3(R)-(6-Methoxy-pyridin-3-yl)-5-oxo-9-(5,6,7,8-tetrahydro-[1,8]-  
naphthyridin-2-yl)-nonanoic acid;
- 3(S)-(6-Methoxy-pyridin-3-yl)-5-oxo-9-(5,6,7,8-tetrahydro-[1,8]-  
naphthyridin-2-yl)-nonanoic acid;
- 15 3(R)-(Pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-  
nonanoic acid;
- 3(S)-(Pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-  
nonanoic acid;
- 20 3(R)-(2-Methyl-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-  
yl)-nonanoic acid;
- 25 3(S)-(2-Methyl-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-  
yl)-nonanoic acid;
- 3(R)-(2-Methoxy-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-  
2-yl)-nonanoic acid;
- 30 3(S)-(2-Methoxy-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-  
2-yl)-nonanoic acid;
- 3(R)-(6-Amino-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-  
nonanoic acid;
- 35

3(S)-(6-Amino-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

5 3(R)-(Benzo[b]thiazol-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid hydrochloride;

3(S)-(Benzo[b]thiazol-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid hydrochloride;

10

and the pharmaceutically acceptable salts thereof.

18. A pharmaceutical composition comprising a compound according to Claim 1 and a pharmaceutically acceptable carrier.

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19. A pharmaceutical composition made by combining a compound according to Claim 1 and a pharmaceutically acceptable carrier.

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20. A process for making a pharmaceutical composition comprising combining a compound according to Claim 1 and a pharmaceutically acceptable carrier.

21. The composition of Claim 18 which further comprises an active ingredient selected from the group consisting of

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- a) an organic bisphosphonate or a pharmaceutically acceptable salt or ester thereof,
- b) an estrogen receptor modulator,
- 30 c) a cytotoxic/antiproliferative agent,
- d) a matrix metalloproteinase inhibitor,
- e) an inhibitor of epidermal-derived, fibroblast-derived, or platelet-derived growth factors,
- f) an inhibitor of VEGF,
- 35 g) an inhibitor of Flk-1/KDR, Flt-1, Tck/Tie-2, or Tie-1,



- h) a cathepsin K inhibitor, and
  - i) a prenylation inhibitor, such as a farnesyl transferase inhibitor or a geranylgeranyl transferase inhibitor or a dual farnesyl/geranylgeranyl transferase inhibitor;
- 5 and mixtures thereof.

22. The composition of Claim 21 wherein said active ingredient is selected from the group consisting of

- 10 a) an organic bisphosphonate or a pharmaceutically acceptable salt or ester thereof,
  - b) an estrogen receptor modulator, and
  - c) a cathepsin K inhibitor;
- and mixtures thereof.

15 23. The composition of Claim 22 wherein said organic bisphosphonate or pharmaceutically acceptable salt or ester thereof is alendronate monosodium trihydrate.

20 24. The composition of Claim 21 wherein said active ingredient is selected from the group consisting of

- a) a cytotoxic/antiproliferative agent,
  - b) a matrix metalloproteinase inhibitor,
  - c) an inhibitor of epidermal-derived, fibroblast-derived, or platelet-derived growth factors,
  - 25 d) an inhibitor of VEGF,
  - e) an inhibitor of Flk-1/KDR, Flt-1, Tck/Tie-2, or Tie-1, and
  - f) a cathepsin K inhibitor;
- and mixtures thereof.

30 25. A method of eliciting an integrin receptor antagonizing effect in a mammal in need thereof, comprising administering to the mammal a therapeutically effective amount of a compound according to Claim 1.

26. The method of Claim 25 wherein the integrin receptor antagonizing effect is an  $\alpha v \beta 3$  antagonizing effect.

27. The method of Claim 26 wherein the  $\alpha v \beta 3$  antagonizing effect is selected from the group consisting of inhibition of bone resorption, restenosis, angiogenesis, diabetic retinopathy, macular degeneration, inflammation, viral disease, tumor growth, and metastasis.

28. The method of Claim 27 wherein the  $\alpha v \beta 3$  antagonizing effect is the inhibition of bone resorption.

29. The method of Claim 25 wherein the integrin receptor antagonizing effect is an  $\alpha v \beta 5$  antagonizing effect.

30. The method of Claim 29 wherein the  $\alpha v \beta 5$  antagonizing effect is selected from the group consisting of inhibition of restenosis, angiogenesis, diabetic retinopathy, macular degeneration, inflammation, tumor growth, and metastasis.

31. The method of Claim 25 wherein the integrin receptor antagonizing effect is a dual  $\alpha v \beta 3 / \alpha v \beta 5$  antagonizing effect.

32. The method of Claim 31 wherein the dual  $\alpha v \beta 3 / \alpha v \beta 5$  antagonizing effect is selected from the group consisting of inhibition of bone resorption, restenosis, angiogenesis, diabetic retinopathy, macular degeneration, inflammation, viral disease, tumor growth, and metastasis.

33. The method of Claim 25 wherein the integrin receptor antagonizing effect is an  $\alpha v \beta 6$  antagonizing effect.

34. The method of Claim 33 wherein the  $\alpha v \beta 6$  antagonizing effect is selected from the group consisting of angiogenesis, inflammatory response, and wound healing.

35. A method of eliciting an integrin receptor  
antagonizing effect in a mammal in need thereof, comprising  
administering to the mammal a therapeutically effective amount of the  
5 composition of Claim 18.

36. A method of treating or preventing a condition  
mediated by antagonism of an integrin receptor in a mammal in need  
thereof, comprising administering to the mammal a therapeutically  
10 effective amount of the composition of Claim 18.

37. A method of inhibiting bone resorption in a mammal  
in need thereof, comprising administering to the mammal a  
therapeutically effective amount of the composition of Claim 18.  
15

38. A method of inhibiting bone resorption in a mammal  
in need thereof, comprising administering to the mammal a  
therapeutically effective amount of the composition of Claim 22.

39. A method of treating tumor growth in a mammal in  
need thereof, comprising administering to the mammal a  
therapeutically effective amount of the composition of Claim 24.  
20

40. A method of treating tumor growth in a mammal in  
need thereof, comprising administering to the mammal a  
therapeutically effective amount of a compound according to Claim 1 in  
combination with radiation therapy.  
25